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=> d his
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L3              0 S L1
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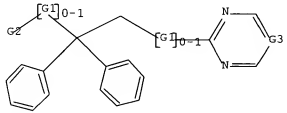


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 G1 O,S
 G2 H,A
 G3 C,N


Structure attributes must be viewed using STN Express query preparation.

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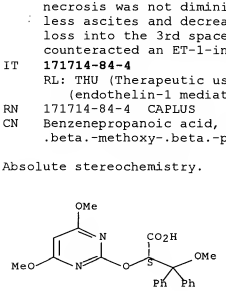
9/08/97

=> d bib abs hitstr 1-

L9 ANSWER 1 OF 9 CAPLUS COPYRIGHT 1997 ACS
AN 1997:402671 CAPLUS
TI Endothelin-1 mediates the development of severe acute pancreatitis
AU Foitzik, Thomas; Faulhaber, J.; Hotz, H. G.; Kirchengast, M.; Buhr, H. J.
CS Abteilung Allgemein-, Gefass- Thoraxchirurgie, Klinikum Benjamin Franklin, Berlin, D-12200, Germany
SO Chir. Forum Exp. Klin. Forsch. (1997) 749-753
CODEN: CFEKA7; ISSN: 0303-6227
PB Springer
DT Journal
LA German
AB In edematous pancreatitis of rats, endothelin-1 (ET-1) decreased pancreatic capillary blood flow and caused development of acinar cell necrosis. Transgenic rats with ET-1 receptor overexpression developed more severe disease, while prophylactic administration of the selective ET-1 receptor antagonist, LU 135252, ameloriated disease severity. After manifestation of necrotizing pancreatitis, ET-1 receptor blockade enhanced decreased pancreatic capillary blood flow and decreased mortality although the development of acinar cell necrosis was not diminished. Improved survival was assocd. with less ascites and decreased hematocrit indicating decreased fluid loss into the 3rd space and suggesting that the antagonist counteracted an ET-1-induced increase in vascular permeability.
IT **171714-84-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin-1 mediates the development of acute pancreatitis)
RN 171714-84-4 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 1997 ACS
AN 1997:157448 CAPLUS
DN 126:195755
TI Effects of chronic ETA-receptor blockade in angiotensin II-induced hypertension
AU D'uscio, Livius V.; Moreau, Pierre; Shaw, Sidney; Takase, Hiroyuki; Barton, Matthias; Luscher, Thomas F.
CS Division of Cardiology, Cardiovascular Research, University Hospital, Bern, Switz.
SO Hypertension (Dallas) (1997), 29(1, Pt. 2), 435-441
CODEN: HPRTDN; ISSN: 0194-911X
PB American Heart Association
DT Journal
LA English

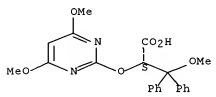
AB Angiotensin II, a constrictor and mitogen of vascular smooth muscle cells, affects the release of endothelium-derived factors such as nitric oxide or endothelin-1. This study investigated the influence of endothelin-1, using the selective endothelin A receptor antagonist LU 135252, on blood pressure and endothelial function in angiotensin II-induced hypertension in the rat. Two weeks of angiotensin II administration (200 ng/kg per min) increased systolic blood pressure (35 mm Hg; tail-cuff method) compared with placebo. LU 135252 alone did not affect systolic pressure but lowered the angiotensin II-induced pressure increase. In isolated aortic rings, endothelium-dependent relaxations to acetylcholine were reduced in the angiotensin II group (vs. placebo) and improved by concomitant chronic LU 135252 treatment (vs. angiotensin II). Blood pressure elevation strongly correlated with impaired endothelium-dependent relaxations to acetylcholine. LU 135252 did not affect endothelium-independent relaxations to sodium nitroprusside, which were diminished after angiotensin II treatment. In quiescent rings, chronic angiotensin II administration enhanced endothelium-dependent contractions to acetylcholine, which were reduced by LU 135252. Impaired contractions to endothelin-1 and norepinephrine in the angiotensin II group were normalized after treatment with LU 135252. Thus, chronic therapy with LU 135252 partially prevents angiotensin II-induced hypertension and the alterations of the endothelial function obsd. in this exptl. model.

IT **171714-84-4**, LU 135252
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of chronic ETA-receptor blockade in angiotensin II-induced hypertension)

RN 171714-84-4 CAPLUS

CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 1997 ACS

AN 1996:625015 CAPLUS

DN 125:316842

TI Oral treatment with an ETA-receptor antagonist inhibits neointima formation induced by endothelial injury

AU Muenter, K.; Hergenroeder, S.; Unger, L.; Kirchengast, M.

CS Knoll A.-G., Ludwigshafen, D-67008, Germany

SO Pharm. Pharmacol. Lett. (1996), 6(2), 90-92
CODEN: PPLEE3; ISSN: 0939-9488

DT Journal

LA English

AB Rats were orally treated with the selective ETA-receptor antagonist LU 135252 from 3 days before until 13 days after ballooning of the left carotid artery. Development of stenosis was assessed histol. 2

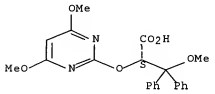
wk after balloon injury. The neointima/media ratio was dose-dependent and reduced from 1.60 (control) to 1.38 (20 mg/kg/d), (50 mg/kg/d) and 1.20 (100 mg/kg/d). Thus, oral treatment with a selective ETA-receptor antagonist reduced the proliferative response to endothelial denudation in the rat.

IT **171714-84-4**, LU 135252
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(ETA-receptor antagonist LU 135252 inhibits neointima formation induced by endothelial injury)

RN 171714-84-4 CAPLUS

CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 1997 ACS

AN 1996:401554 CAPLUS

DN 125:58534

TI Preparation of pyrimidine- and triazine-derivative endothelin receptor antagonists

IN Riechers, Hartmut; Klinge, Dagmar; Amberg, Wilhelm; Kling, Andreas; Mueller, Stefan; Baumann, Ernst; Rheinheimer, Joachim; Vogelbacher, Uwe Josef; Wernet, Wolfgang; et al.

PA BASF A.-G., Germany

SO Ger. Offen., 28 pp.
CODEN: GWXXBX

PI DE 19533023 A1 960418

AI DE 95-19533023 950907

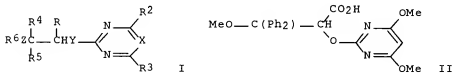
PRAI DE 94-4436851 941014

DT Patent

LA German

OS MARPAT 125:58534

GI



AB The title compds. [I; R = CHO, tetrazolyl, CN, CO2H, groups

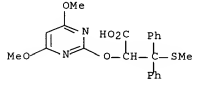
cleavable to CO2H; R2 = (un)substituted NH2, halogen, (un)substituted alkyl, etc.; R3 = H, OH, (un)substituted NH2, halogen, (un)substituted alkyl, etc.; R4, R5 = (un)substituted Ph or naphthyl; R6 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, (un)substituted Ph, etc.; X = N, (un)substituted CH; Y = direct bond, S, O; Z = S, O, SO, SO2, direct bond], useful as endothelin receptor antagonists, are prepd. Thus, pyrimidine deriv. II, m.p. 167.degree., demonstrated a Ki ETA of 6 nM.

IT **178306-68-8P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine- and triazine-deriv. endothelin receptor antagonists)

RN 178306-68-8 CAPLUS

CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-(methylthio)-.beta.-phenyl- (9CI) (CA INDEX NAME)

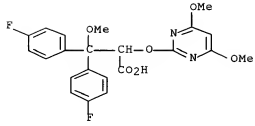


IT **177036-81-6P 177036-86-1P 177036-87-2P**
178306-45-1P 178306-46-2P 178306-57-5P
178306-58-6P 178306-59-7P 178306-60-0P
178306-61-1P 178306-62-2P 178306-63-3P
178306-64-4P 178306-65-5P 178306-66-6P
178306-67-7P 178306-69-9P 178306-70-2P
178306-71-3P 178306-72-4P 178306-73-5P
178306-74-6P 178306-75-7P 178306-76-8P
178306-77-9P 178306-78-0P 178306-79-1P
178306-80-4P 178306-81-5P 178306-82-6P
178306-83-7P 178306-84-8P 178306-85-9P

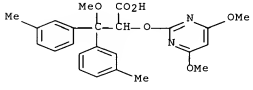
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine- and triazine-deriv. endothelin receptor antagonists)

RN 177036-81-6 CAPLUS

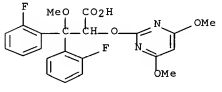
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-4-fluoro-.beta.-(4-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)



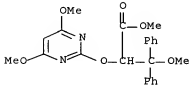
RN 177036-86-1 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-3-methyl-.beta.-(3-methylphenyl)- (9CI) (CA INDEX NAME)



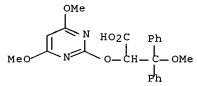
RN 177036-87-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-2-fluoro-.beta.-(2-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)



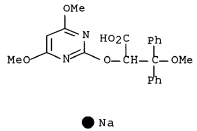
RN 178306-45-1 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



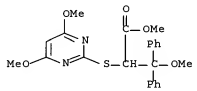
RN 178306-46-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



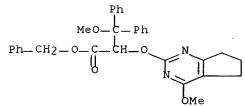
RN 178306-57-5 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-, sodium salt (9CI) (CA INDEX NAME)



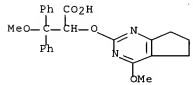
RN 178306-58-6 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)thio]-.beta.-methoxy-.beta.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



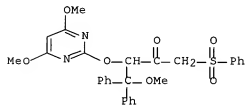
RN 178306-59-7 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-yl)oxy]-.beta.-methoxy-.beta.-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



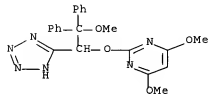
RN 178306-60-0 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-yl)oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



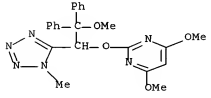
RN 178306-61-1 CAPLUS
CN 2-Butanone, 3-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-4-methoxy-4,4-diphenyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



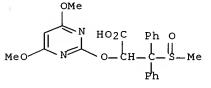
RN 178306-62-2 CAPLUS
CN Pyrimidine, 4,6-dimethoxy-2-[2-methoxy-2,2-diphenyl-1-(1H-tetrazol-5-yl)ethoxy]- (9CI) (CA INDEX NAME)



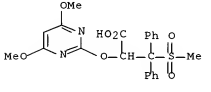
RN 178306-63-3 CAPLUS
CN Pyrimidine, 4,6-dimethoxy-2-[2-methoxy-1-(1-methyl-1H-tetrazol-5-yl)-2,2-diphenylethoxy]- (9CI) (CA INDEX NAME)



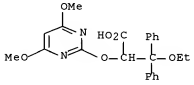
RN 178306-64-4 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-(methylsulfinyl)-.beta.-phenyl- (9CI) (CA INDEX NAME)



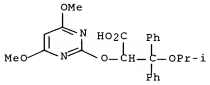
RN 178306-65-5 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-(methylsulfonyl)-.beta.-phenyl- (9CI) (CA INDEX NAME)



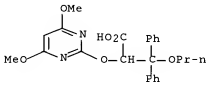
RN 178306-66-6 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-ethoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



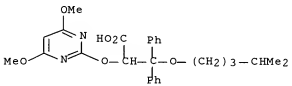
RN 178306-67-7 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-(1-methylethoxy)-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 178306-69-9 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-phenyl-.beta.-propoxy- (9CI) (CA INDEX NAME)

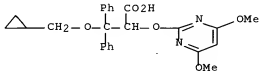


RN 178306-70-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-[(4-methylpentyl)oxy]-.beta.-phenyl- (9CI) (CA INDEX NAME)

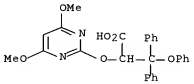


RN 178306-71-3 CAPLUS

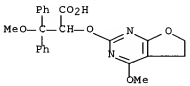
CN Benzenepropanoic acid, .beta.-(cyclopropylmethoxy)-.alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-phenyl- (9CI) (CA INDEX NAME)



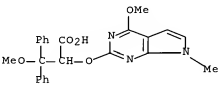
RN 178306-72-4 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-phenoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



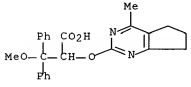
RN 178306-73-5 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methoxyfuro[2,3-d]pyrimidin-2-yl)oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



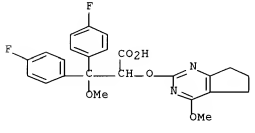
RN 178306-74-6 CAPLUS
CN Benzenepropanoic acid, .beta.-methoxy-.alpha.-[(4-methoxy-7-methyl-7H-pyrrolo[2,3-d]pyrimidin-2-yl)oxy]-.beta.-phenyl- (9CI) (CA INDEX NAME)



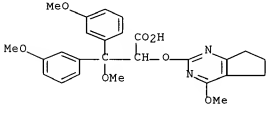
RN 178306-75-7 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(6,7-dihydro-4-methyl-5H-cyclopentapyrimidin-2-yl)oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 178306-76-8 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-yl)oxy]-4-fluoro-.beta.-(4-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)

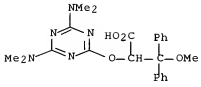


RN 178306-77-9 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-yl)oxy]-.beta.,3-dimethoxy-.beta.-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

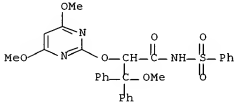


RN 178306-78-0 CAPLUS
CN Benzenepropanoic acid, .alpha.-[[4,6-bis(dimethylamino)-1,3,5-triazin-2-yl]oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX

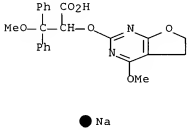
NAME)



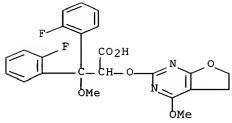
RN 178306-79-1 CAPLUS
CN Benzenepropanamide, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



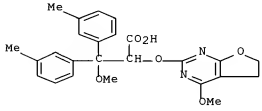
RN 178306-80-4 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methoxyfuro[2,3-d]pyrimidin-2-yl)oxy]-.beta.-methoxy-.beta.-phenyl-, sodium salt (9CI) (CA INDEX NAME)



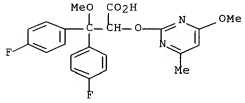
RN 178306-81-5 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methoxyfuro[2,3-d]pyrimidin-2-yl)oxy]-2-fluoro-.beta.-(2-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)



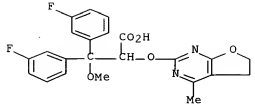
RN 178306-82-6 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methoxyfuro[2,3-d]pyrimidin-2-yl)oxy]-.beta.-methoxy-3-methyl-.beta.-(3-methylphenyl)- (9CI) (CA INDEX NAME)



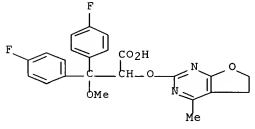
RN 178306-83-7 CAPLUS
CN Benzenepropanoic acid, 4-fluoro-.beta.-(4-fluorophenyl)-.beta.-methoxy-.alpha.-[(4-methoxy-6-methyl-2-pyrimidinyl)oxy]- (9CI) (CA INDEX NAME)



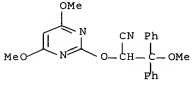
RN 178306-84-8 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methylfuro[2,3-d]pyrimidin-2-yl)oxy]-3-fluoro-.beta.-(3-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)



RN 178306-85-9 CAPLUS
CN Benzenepropanoic acid, .alpha.-[(5,6-dihydro-4-methylfuro[2,3-d]pyrimidin-2-yl)oxy]-4-fluoro-.beta.-(4-fluorophenyl)-.beta.-methoxy- (9CI) (CA INDEX NAME)



IT **178306-56-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrimidine- and triazine-deriv. endothelin receptor antagonists)
RN 178306-56-4 CAPLUS
CN Benzenepropanenitrile, .alpha.-[(4,6-dimethoxy-2-pyrimidinyl)oxy]-.beta.-methoxy-.beta.-phenyl- (9CI) (CA INDEX NAME)



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AN 1996:271791 CAPLUS
DN 125:328
TI Discovery and Optimization of a Novel Class of Orally Active Nonpeptidic Endothelin-A Receptor Antagonists
AU Riechers, Hartmut; Albrecht, Hans-Peter; Amberg, Willi; Baumann, Ernst; Bernard, Harald; Boehm, Hans-Joachim; Klinge, Dagmar; Kling, Andreas; Mueller, Stefan; et al.